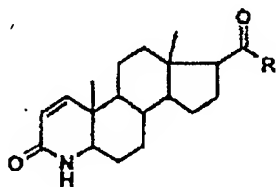


Amendments to the Claims:

This claim listing replaces all prior versions and listings of claims in the application.

Please amend the claims as follows:

1. (Currently amended) A process for preparing 17 β -substituted 4-azaandrost-1-en-3-one compounds of the general formula (I):



where

R is hydroxyl, optionally substituted, linear or branched (C₁-C₁₂)alkyl or (C₁-C₁₂)alkenyl; phenyl or benzyl; an -OR₁ radical, or an -NHR₁ radical, or an -NR₁R₂ radical;

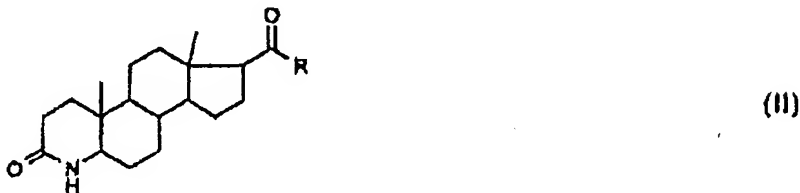
R₁ is hydrogen, optionally substituted, linear or branched (C₁-C₁₂)alkyl or (C₁-C₁₂)alkenyl, or optionally substituted phenyl;

R₂ is hydrogen, methyl, ethyl or propyl; or

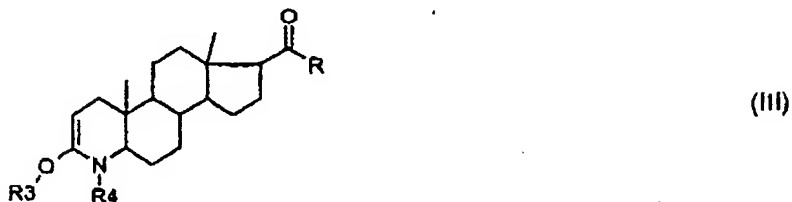
-NR₁R₂ is a 5- or 6-membered heterocyclic ring, and when R= hydroxyl also a pharmaceutically approved salt thereof,

characterized in that

(A) protecting groups are introduced into the 3-keto-4-aza moiety (lactam moiety) of a compound of the general formula (II):



so that a compound of the general formula (III) is formed:



where

R₃ is trialkylsilyl or, together with R₄, the -C(O)-C(O)- or -C(O)-Y-C(O)- radical;

R₄ is alkyloxycarbonyl or phenyloxycarbonyl, Boc (= tert-butyloxycarbonyl); or tri-alkylsilyl, or, together with R₃, the -C(O)-C(O)- or -C(O)-Y-C(O)- radical;

Y is -[C(R₅)(R₆)]_n- or -CH(R₅)=CH(R₆)-, or ortho-phenylene;

R₅ and R₆ are each independently hydrogen, linear or branched (C₁₋₈)alkyl or alkenyl, optionally substituted phenyl or benzyl; and

n is an integer of 1 to 4;

and where, in the case that R is hydroxyl, it has optionally reacted with a protecting group;

(B) the compound obtained [in step (A)] is reacted in the presence (i) of a dehydrogenation catalyst selected from the group comprising catalytically active Pd(0) compounds, the tris(dibenzylideneacetone)dipalladium-chloroform complex and Pd(II) compounds, said Pd(II) compounds being selected from the group consisting of PdCl₂, Pd(dppe)₂, [dppe = bis(1,2-
biphenyl

phosphino)ethane], Pd(dppe)Cl₂, Pd(OAc)₂ and Pd(dppe)(OAc)₂ and from π -allyl-Pd complexes, including π -allyl-Pd chloride dimer, and mixtures thereof~~selected from compounds of group VIII of the Periodic Table of Elements~~ and in the presence of (ii) optionally substituted benzoquinone, allyl methyl carbonate, allyl ethyl carbonate and/or allyl propyl carbonate, and the Δ^1 double bond is introduced in the 1-/2-position, and

(C) the protecting groups R₃ and R₄ are removed and when R = hydroxyl the resulting compound is optionally converted to a salt.

2. (Currently amended) The process of claim 1, characterized in that R is linear or branched (C₁-C₆)alkyl, methyl, ethyl, propyl or n-butyl, sec-butyl or tert-butyl, ~~preferably tert-butyl~~; or an -OR₁ radical, or an -NHR₁ radical, or an -NR₁R₂ radical, -NH-tert-butyl, or optionally substituted phenyl.

3. (Previously presented) The process of claim 1, characterized in that R_1 is linear or branched (C_1 - C_6)alkyl, methyl, ethyl, propyl, n-butyl, sec-butyl or tert-butyl.

4. (Previously presented) The process of claim 1, characterized in that R is an - NHR_1 radical where R_1 is 2,5-bis(trifluoromethyl)phenyl.

5. (Previously presented) The process of claim 1, characterized in that the R_2 substituent in the - NR_1R_2 radical is methyl.

6. (Previously presented) The process of claim 1, characterized in that the - NR_1R_2 substituent as a 5- or 6-membered heterocyclic ring is a radical of piperidine or pyrrolidine.

7. (Previously presented) The process of claim 1, characterized in that R_3 is trimethylsilyl, or, together with R_4 , is the -C(O)-C(O)- or -C(O)-Y-C(O)- radical.

8. (Currently amended) The process of claim 1, characterized in that R_4 is selected from the group consisting of alkylloxycarbonyl, isobutyloxycarbonyl, tert-butyloxycarbonyl, tert-amyloxycarbonyl, cyclobutyloxycarbonyl, 1-methylcyclobutyloxycarbonyl, cyclopentyloxycarbonyl, cyclohexyloxycarbonyl, and 1-methylcyclohexyloxycarbonyl.

9. (Previously presented) The process of claim 1, characterized in that R_4 is Boc, trimethylsilyl, or, together with R_3 , the $-C(O)-C(O)-$ or $-C(O)-Y-C(O)-$ radical,.

10. (Previously presented) The process of claim 1, characterized in that R_5 and R_6 are each independently hydrogen, linear or branched (C_{1-4}) alkyl or phenyl methylene, and n is 1 or 2.

11. (Currently amended) The process of claim 1, characterized in that in step ~~(B)~~ (A) the compound of the general formula (II) ~~for the introduction of the Boc protecting group has been~~ is reacted with Boc anhydride or Boc carbamate.

12-14. (Cancelled)

15. (Previously presented) The process of claim 1, characterized in that the dehydrogenation catalyst, is stabilized thermally by the presence of an additional complexing agent selected from 2,2'-bipyridyl or 1,10-phenanthroline.

16. (Currently amended) The process of claim 1, characterized in that the benzoquinone used [in step (B)] is a substituted ~~quinone~~ benzoquinone, ~~preferably a C_{1-4} alkyl, halogen, cyano or nitro substituted quinone.~~

17. (Previously presented) The process of claim 1, characterized in that [in step (C)] the introduced protecting groups are removed by treating with a suitable acid.

18. (Currently amended) The process of claim 1, characterized in that [in step (C)] the resulting compound where R is hydroxyl is converted to an alkali metal salt, an alkaline earth metal salt, an ammonium salt, ~~a salt of sodium, potassium or ammonium.~~

19. (Currently amended) The process of claim 1, characterized in that the resulting compound of the formula (I) is crystallized from an apolar solvent, said solvent being selected from ~~benzine~~ benzene, heptane, hexane, toluene, and mixtures thereof.

20. (Previously presented) The process of claim 1, characterized in that the resulting compound of the formula (I) which is 17 β -(N-tert-butylcarbamoyl)-4-azaandrost-1-en-3-one is crystallized from a saturated solution of toluene at a temperature of about 25°C.

21. (Previously presented) The process of claim 1, characterized in that the resulting compound of the formula (I) which is 17 β -(N-tert-butylcarbamoyl)-4-azaandrost-1-en-3-one is crystallized from a saturated solution of toluene at a temperature of about 0°C.

Application No. 10/521,421
Amendment and Response dated February 5, 2010
Reply to Office Action of November 19, 2009
Docket No. 753-45 PCT/US
Page 8

22. (New) The process of claim 1, characterized in that [in step (C)] the resulting compound where R is hydroxyl is converted to an ammonium salt, a salt of sodium, potassium or ammonium.